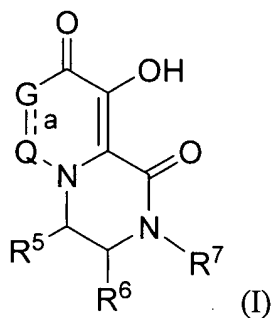


IN THE CLAIMS

The listing of the claims which follows replaces any and all prior versions and/or listings of the claims in the application.

1. (original) A compound of Formula I, or a pharmaceutically acceptable salt thereof:



wherein:

G is C-R<sup>1</sup>, CH-R<sup>1</sup>, N, or N-R<sup>2</sup>;

Q is C-R<sup>3</sup>, C-R<sup>4</sup>, CH-R<sup>3</sup> or CH-R<sup>4</sup>, with the proviso that (i) when G is C-R<sup>1</sup>, then Q is C-R<sup>3</sup>, (ii) when G is CH-R<sup>1</sup>, then Q is CH-R<sup>3</sup>, (iii) when G is N, then Q is C-R<sup>4</sup>, and (iv) when G is N-R<sup>2</sup>, then Q is CH-R<sup>4</sup>;

bond "a" is a single bond or a double bond between G and Q, with the proviso that (i) when G is N or C-R<sup>1</sup>, bond "a" is a double bond, and (ii) when G is CH-R<sup>1</sup> or N-R<sup>2</sup>, bond "a" is a single bond;

R<sup>1</sup> is:

- (1) H,
- (2) halogen,
- (3) C<sub>1-6</sub> alkyl,
- (4) C<sub>1-6</sub> alkyl substituted with:
  - (a) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (b) -N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
  - (c) -N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
  - (d) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl,
  - (e) -N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,

- (f) -OH,
- (g) -HetD, or
- (h) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-HetA,
- (5) HetA,
- (6) C(=O)-R<sup>a</sup>,
- (7) C(=O)-aryl, or
- (8) C(=O)-HetA;

R<sup>2</sup> is H or C<sub>1-6</sub> alkyl;

R<sup>3</sup> is:

- (1) H,
- (2) C<sub>1-6</sub> alkyl,
- (3) C<sub>1-6</sub> alkyl substituted with:
  - (a) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (b) -N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
  - (c) -N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
  - (d) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl,
  - (e) -N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
  - (f) -HetD,
  - (g) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-HetA, or
- (4) C(=O)-C<sub>1-6</sub> alkyl,
- (5) CO<sub>2</sub>H,
- (6) C(=O)-O-C<sub>1-6</sub> alkyl,
- (7) C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or
- (8) C(=O)-HetF;

R<sup>4</sup> is:

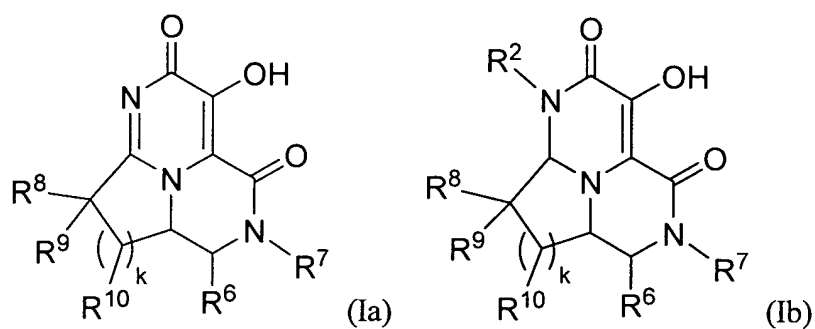
- (1) H,
- (2) C<sub>1-6</sub> alkyl, or
- (3) C<sub>1-6</sub> alkyl substituted with:
  - (a) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (b) -N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
  - (c) -N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
  - (d) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl,
  - (e) -N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,

- (f) -HetD, or
- (g) -N(Ra)-C<sub>1-6</sub> alkylene-HetA;

R<sup>5</sup> is:

- (1) H,
- (2) C<sub>1-6</sub> alkyl, or
- (3) C<sub>1-6</sub> alkyl substituted with:
  - (a) -CO<sub>2</sub>H,
  - (b) -C(=O)-O-C<sub>1-6</sub> alkyl,
  - (c) -C(=O)-C<sub>1-6</sub> alkyl,
  - (d) -N(Ra)R<sup>b</sup>,
  - (e) -C(=O)N(Ra)R<sup>b</sup>,
  - (f) -N(Ra)-C(=O)-R<sup>b</sup>,
  - (g) -N(Ra)-SO<sub>2</sub>R<sup>b</sup>,
  - (h) -N(Ra)-C(=O)-C(=O)-N(Ra)R<sup>b</sup>,
  - (i) -HetF,
  - (j) -C(=O)-HetF, or
  - (k) -N(Ra)-C(=O)-C(=O)-HetF;

or alternatively R<sup>4</sup> and R<sup>5</sup> together with the carbon atoms to which each is attached and the fused ring N atom therebetween form a ring such that the compound of Formula I is a compound of Formula Ia or Ib:



wherein k is an integer equal to 1 or 2;

R<sup>6</sup> is H or C<sub>1-6</sub> alkyl;

R<sup>7</sup> is C<sub>1-6</sub> alkyl substituted with T, wherein T is:

- (A) aryl or aryl fused to a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the aryl or fused aryl is optionally substituted with from 1 to 5 substituents each of which is independently:
- (1) -C<sub>1-6</sub> alkyl optionally substituted with -OH, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, -CN, -NO<sub>2</sub>, -N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)N(R<sup>a</sup>)R<sup>b</sup>, -C(=O)R<sup>a</sup>, -CO<sub>2</sub>R<sup>a</sup>, -S(O)<sub>n</sub>R<sup>a</sup> where n is an integer equal to zero or 1 or 2, -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, -N(R<sup>a</sup>)C(=O)R<sup>b</sup>, -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>, -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>, -OC(=O)N(R<sup>a</sup>)R<sup>b</sup>, or -N(R<sup>a</sup>)C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
  - (2) -O-C<sub>1-6</sub> alkyl,
  - (3) -C<sub>1-6</sub> haloalkyl,
  - (4) -O-C<sub>1-6</sub> haloalkyl,
  - (5) -OH,
  - (6) halo,
  - (7) -CN,
  - (8) -NO<sub>2</sub>,
  - (9) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (10) -C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
  - (11) -C(=O)R<sup>a</sup>,
  - (12) -CO<sub>2</sub>R<sup>a</sup>,
  - (13) -SR<sup>a</sup>,
  - (14) -S(=O)R<sup>a</sup>,
  - (15) -SO<sub>2</sub>R<sup>a</sup>,
  - (16) -SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
  - (17) -N(R<sup>a</sup>)SO<sub>2</sub>R<sup>b</sup>,
  - (18) -N(R<sup>a</sup>)SO<sub>2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
  - (19) -N(R<sup>a</sup>)C(=O)R<sup>b</sup>,
  - (20) -N(R<sup>a</sup>)C(=O)-C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
  - (21) -N(R<sup>a</sup>)CO<sub>2</sub>R<sup>b</sup>,
  - (22) phenyl,
  - (23) benzyl,
  - (24) -HetB,
  - (25) -C(=O)-HetB, or
  - (26) -HetC, or
- (B) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is

- (i) optionally substituted with from 1 to 4 substituents each of which is independently halogen, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy; and
- (ii) optionally substituted with 1 or 2 substituents each of which is independently aryl or -C<sub>1-6</sub> alkyl substituted with aryl;

R<sup>8</sup> is:

- (1) H,
- (2) C<sub>1-6</sub> alkyl,
- (3) N(R<sub>a</sub>)R<sub>b</sub>,
- (4) N(R<sub>a</sub>)-CO<sub>2</sub>R<sub>b</sub>,
- (5) N(R<sub>a</sub>)-SO<sub>2</sub>R<sub>b</sub>,
- (6) N(R<sub>a</sub>)-C(=O)-R<sub>b</sub>,
- (7) N(R<sub>a</sub>)-C(=O)-N(R<sub>a</sub>)R<sub>b</sub>,
- (8) N(R<sub>a</sub>)-C(=O)-C(=O)-N(R<sub>a</sub>)R<sub>b</sub>,
- (9) HetF,
- (10) N(R<sub>a</sub>)-C(=O)-HetF, or
- (11) N(R<sub>a</sub>)-C(=O)-C(=O)-HetF;

R<sup>9</sup> is H, C<sub>1-6</sub> alkyl, or C<sub>1-6</sub> alkyl substituted with U, wherein U independently has the same definition as T;

each R<sup>10</sup> is independently H or C<sub>1-6</sub> alkyl;

each HetA is independently:

(A) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and wherein the heteroaromatic ring is:

- (i) optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-4</sub> alkyl; and
- (ii) optionally substituted with aryl or -C<sub>1-4</sub> alkylene-aryl; or

(B) a 9- or 10-membered aromatic heterobicyclic fused ring system containing from 1 to 4 heteroatoms independently selected from N, O and S; wherein the fused ring system consists of a 6-membered ring fused with either a 5-membered ring or another 6-membered ring, either ring of which is attached to the rest of the compound via a carbon

atom; wherein the ring of the fused ring system attached to the rest of the compound via the carbon atom contains at least one of the heteroatoms; and wherein the fused ring system is:

- (i) optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-4</sub> alkyl; and
- (ii) optionally substituted with aryl or -C<sub>1-4</sub> alkylene-aryl;

each HetB is independently a C<sub>4-7</sub> azacycloalkyl or a C<sub>3-6</sub> diazacycloalkyl, either of which is optionally substituted with from 1 to 4 substituents each of which is oxo or C<sub>1-6</sub> alkyl;

each HetC is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with from 1 to 4 substituents each of which is independently halo, -C<sub>1-6</sub> alkyl, -C<sub>1-6</sub> haloalkyl, -O-C<sub>1-6</sub> alkyl, -O-C<sub>1-6</sub> haloalkyl, or hydroxy; or

each HetD is independently a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and a total of from 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, from 0 to 2 O atoms, and from 0 to 2 S atoms, wherein any ring S atom is optionally oxidized to SO or SO<sub>2</sub>, and wherein the heterocyclic ring is optionally fused with a benzene ring, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is:

- (i) optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> alkylene-N(R<sup>a</sup>)R<sup>b</sup>, or -C(=O)OR<sup>a</sup>; and
- (ii) optionally substituted with aryl, -C<sub>1-4</sub> alkylene-aryl, HetE, or -C<sub>1-4</sub> alkylene-HetE; wherein HetE is (i) a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S or (ii) a 4- to 7-membered saturated heterocyclic ring containing at least one carbon atom and from 1 to 4 heteroatoms independently selected from N, O and S;

each HetF is independently a 4- to 7-membered saturated heterocyclic ring containing 1 or 2 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO<sub>2</sub>, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-6</sub> alkyl;

each aryl is independently phenyl or naphthyl;

each R<sup>a</sup> is independently H or C<sub>1-6</sub> alkyl; and

each R<sup>b</sup> is independently H or C<sub>1-6</sub> alkyl.

2. (original) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein:

R<sup>3</sup> is:

- (1) H,
- (2) C<sub>1-6</sub> alkyl,
- (3) C<sub>1-6</sub> alkyl substituted with:
  - (a) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (b) -N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
  - (c) -N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
  - (d) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl,
  - (e) -N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
  - (f) -HetD, or
  - (g) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-HetA, or
- (4) C(=O)-C<sub>1-6</sub> alkyl;

R<sup>4</sup> is:

- (1) H,
- (2) C<sub>1-6</sub> alkyl, or
- (3) C<sub>1-6</sub> alkyl substituted with:
  - (a) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (b) -N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
  - (c) -N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
  - (d) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkyl,
  - (e) -N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
  - (f) -HetD, or
  - (g) -N(R<sup>a</sup>)-C<sub>1-6</sub> alkylene-HetA; and

R<sup>5</sup> and R<sup>6</sup> are each independently H or C<sub>1-6</sub> alkyl.

3. (original) The compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein

R<sup>1</sup> is:

- (1) H,
- (2) halogen,
- (3) C<sub>1-4</sub> alkyl,
- (4) C<sub>1-4</sub> alkyl substituted with:
  - (a) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (b) -N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
  - (c) -N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
  - (d) -N(R<sup>a</sup>)-C<sub>1-3</sub> alkylene-O-C<sub>1-4</sub> alkyl,
  - (e) -N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
  - (f) -OH,
  - (g) -HetD, or
  - (h) -N(R<sup>a</sup>)-C<sub>1-3</sub> alkylene-HetA,
- (5) HetA,
- (6) C(=O)-R<sup>a</sup>,
- (7) C(=O)-aryl, or
- (8) C(=O)-HetA;

R<sup>2</sup> is H or C<sub>1-4</sub> alkyl;

R<sup>3</sup> is:

- (1) H,
- (2) C<sub>1-4</sub> alkyl,
- (3) C(=O)-C<sub>1-4</sub> alkyl,
- (4) CO<sub>2</sub>H,
- (5) C(=O)-O-C<sub>1-4</sub> alkyl,
- (6) C(=O)N(R<sup>a</sup>)R<sup>b</sup>, or
- (7) C(=O)-HetF;

R<sup>4</sup> is:

- (1) H,
- (2) C<sub>1-4</sub> alkyl, or



- (3) C<sub>1-4</sub> alkyl substituted with:
- (a) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (b) -N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
  - (c) -N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
  - (d) -N(R<sup>a</sup>)-C<sub>1-3</sub> alkylene-O-C<sub>1-4</sub> alkyl,
  - (e) -N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
  - (f) -HetD, or
  - (g) -N(R<sup>a</sup>)-C<sub>1-3</sub> alkylene-HetA;

- (1) H,
- (2) C<sub>1-4</sub> alkyl, or
- (3) C<sub>1-4</sub> alkyl substituted with:
  - (a) -CO<sub>2</sub>H,
  - (b) -C(=O)-O-C<sub>1-4</sub> alkyl,
  - (c) -N(R<sup>a</sup>)R<sup>b</sup>,
  - (d) -C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
  - (e) -N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
  - (f) -HetF,
  - (g) -C(=O)-HetF, or
  - (h) -N(R<sup>a</sup>)-C(=O)-C(=O)-HetF;

Chemical structures of compounds (Ia) and (Ib) are shown. Both structures are derivatives of a 2,4-dihydroxy-1,2,3,4-tetrahydropyrimidin-5(1H)-one core. Structure (Ia) has substituents R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, and R<sup>10</sup>. Structure (Ib) has substituents R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, and R<sup>2</sup>.

R<sup>6</sup> is H or C<sub>1-4</sub> alkyl;

R<sup>7</sup> is H, C<sub>1-4</sub> alkyl, or C<sub>1-4</sub> alkyl substituted with T, wherein T is phenyl, naphthyl, quinolinyl, or isoquinolinyl, wherein the phenyl, naphthyl, quinolinyl, or isoquinolinyl is optionally substituted with from 1 to 3 substituents each of which is independently halo, -C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> fluoroalkyl, -SO<sub>2</sub>-C<sub>1-4</sub> alkyl, -C(=O)-NH(-C<sub>1-4</sub> alkyl), -C(=O)-N(-C<sub>1-4</sub> alkyl)<sub>2</sub>, or HetC;

R<sup>8</sup> is:

- (1) H,
- (2) C<sub>1-4</sub> alkyl,
- (3) N(R<sup>a</sup>)R<sup>b</sup>,
- (4) N(R<sup>a</sup>)-CO<sub>2</sub>R<sup>b</sup>,
- (5) N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
- (6) HetF, or
- (7) N(R<sup>a</sup>)-C(=O)-C(=O)-HetF;

R<sup>9</sup> is H, C<sub>1-4</sub> alkyl, or C<sub>1-4</sub> alkyl substituted with U, wherein U is phenyl, naphthyl, quinolinyl, or isoquinolinyl, wherein the phenyl, naphthyl, quinolinyl, or isoquinolinyl is optionally substituted with from 1 to 3 substituents each of which is independently halo, -C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> fluoroalkyl, -SO<sub>2</sub>-C<sub>1-4</sub> alkyl, -C(=O)-NH(-C<sub>1-4</sub> alkyl), -C(=O)-N(-C<sub>1-4</sub> alkyl)<sub>2</sub>, or HetC;

each R<sup>10</sup> is independently H or C<sub>1-4</sub> alkyl;

HetA is:

- (A) a 5- or 6-membered heteroaromatic ring containing a total of from 1 to 3 heteroatoms independently selected from zero to 3 N atoms, zero or 1 O atom, and zero or 1 S atom; wherein the heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and wherein the heteroaromatic ring is:
  - (i) optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-4</sub> alkyl; and
  - (ii) optionally substituted with phenyl or -CH<sub>2</sub>-phenyl; or
- (B) a 9- or 10-membered aromatic heterobicyclic fused ring system containing a total of from 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, zero or 1 O atom, and zero or 1 S atom; wherein the fused ring system consists of a 6-membered ring fused with either a 5-membered ring or another 6-membered ring, either ring of

which is attached to the rest of the compound via a carbon atom; wherein the ring of the fused ring system attached to the rest of the compound via the carbon atom contains at least one of the heteroatoms; and wherein the fused ring system is:

- (i) optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-4</sub> alkyl; and
- (ii) optionally substituted with phenyl or -CH<sub>2</sub>-phenyl; and

each HetC is independently a 5- or 6-membered heteroaromatic ring containing a total of 1 to 4 heteroatoms independently selected from 1 to 4 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein the heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and wherein the heteroaromatic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-4</sub> alkyl;

HetD is a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 3 heteroatoms independently selected from 1 to 3 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO<sub>2</sub>, and wherein the heterocyclic ring is optionally fused with a benzene ring, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is:

- (i) optionally substituted with -C<sub>1-4</sub> alkyl, -(CH<sub>2</sub>)<sub>1-2</sub>-NH(-C<sub>1-4</sub> alkyl), -(CH<sub>2</sub>)<sub>1-2</sub>-N(-C<sub>1-4</sub> alkyl)<sub>2</sub> or -C(=O)O-C<sub>1-4</sub> alkyl; and
- (ii) optionally substituted with phenyl, -CH<sub>2</sub>-phenyl, HetE, or -(CH<sub>2</sub>)<sub>1-2</sub>-HetE; wherein HetE is (i) a 5- or 6-membered heteroaromatic ring containing a total of from 1 to 3 heteroatoms independently selected from zero to 3 N atoms, zero or 1 O atom, and zero or 1 S atom or (ii) a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 3 heteroatoms independently selected from 1 to 3 N atoms, zero or 1 O atom, and zero or 1 S atom;

each HetF is independently a 5- or 6-membered saturated heterocyclic ring containing 1 or 2 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO<sub>2</sub>, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-4</sub> alkyl;

each R<sup>a</sup> is independently H or C<sub>1-4</sub> alkyl; and

R<sup>b</sup> is H or C<sub>1-4</sub> alkyl.

4. (original) The compound according to claim 3, or a pharmaceutically acceptable salt thereof, wherein

R<sup>1</sup> is:

- (1) H,
- (2) C<sub>1-3</sub> alkyl,
- (3) chloro,
- (4) bromo,
- (5) CH<sub>2</sub>-N(R<sup>a</sup>)R<sup>b</sup>,
- (6) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)R<sup>b</sup>,
- (7) CH<sub>2</sub>-N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (8) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (9) CH<sub>2</sub>-N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
- (10) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
- (11) CH<sub>2</sub>-N(R<sup>a</sup>)-C<sub>2-3</sub> alkylene-O-C<sub>1-3</sub> alkyl,
- (12) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C<sub>2-3</sub> alkylene-O-C<sub>1-3</sub> alkyl,
- (13) CH<sub>2</sub>-N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
- (14) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
- (15) CH<sub>2</sub>OH,
- (16) CH(CH<sub>3</sub>)OH,
- (17) CH<sub>2</sub>-HetD,
- (18) CH(CH<sub>3</sub>)-HetD,
- (19) CH<sub>2</sub>-N(R<sup>a</sup>)-CH<sub>2</sub>-HetA,
- (20) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-CH<sub>2</sub>-HetA,
- (21) HetA, or
- (22) C(=O)-R<sup>a</sup>; and

R<sup>2</sup> is H or C<sub>1-3</sub> alkyl;

R<sup>3</sup> is:

- (1) H,
- (2) C<sub>1-3</sub> alkyl,
- (3) C(=O)-C<sub>1-3</sub> alkyl,

- (4)  $\text{CO}_2\text{H}$ ,
- (5)  $\text{C}(=\text{O})\text{-O-C}_{1-3}$  alkyl, or
- (6)  $\text{C}(=\text{O})\text{N(Ra)R}^b$ ;

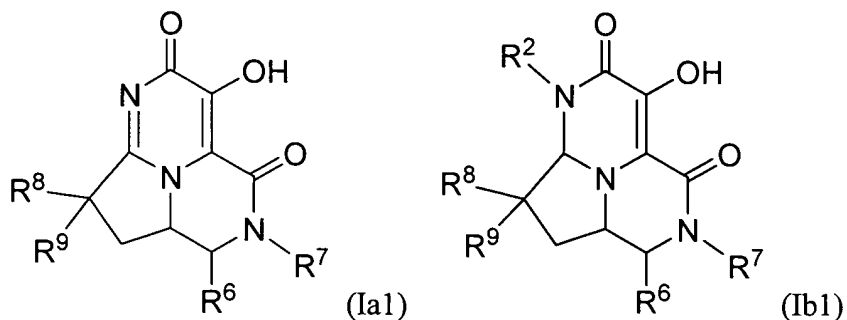
$\text{R}^4$  is:

- (1) H,
- (2)  $\text{C}_{1-3}$  alkyl,
- (3)  $\text{CH}_2\text{-N(Ra)R}^b$ ,
- (4)  $\text{CH}(\text{CH}_3)\text{-N(Ra)R}^b$ ,
- (5)  $\text{CH}_2\text{-N(Ra)-C}(=\text{O})\text{-R}^b$ ,
- (6)  $\text{CH}(\text{CH}_3)\text{-N(Ra)-C}(=\text{O})\text{-R}^b$ ,
- (7)  $\text{CH}_2\text{-HetD}$ , or
- (8)  $\text{CH}(\text{CH}_3)\text{-HetD}$ ;

$\text{R}^5$  is:

- (1) H,
- (2)  $\text{C}_{1-3}$  alkyl,
- (3)  $\text{CH}_2\text{CO}_2\text{H}$ ,
- (4)  $\text{CH}_2\text{C}(=\text{O})\text{-O-C}_{1-4}$  alkyl,
- (5)  $(\text{CH}_2)_{1-2}\text{N(Ra)R}^b$ ,
- (6)  $\text{CH}_2\text{C}(=\text{O})\text{N(Ra)R}^b$ ,
- (7)  $(\text{CH}_2)_{1-2}\text{N(Ra)-C}(=\text{O})\text{-C}(=\text{O})\text{-N(Ra)R}^b$ ,
- (8)  $(\text{CH}_2)_{1-2}\text{-HetF}$ ,
- (9)  $\text{CH}_2\text{C}(=\text{O})\text{-HetF}$ , or
- (10)  $(\text{CH}_2)_{1-2}\text{N(Ra)-C}(=\text{O})\text{-C}(=\text{O})\text{-HetF}$ ;

or alternatively  $\text{R}^4$  and  $\text{R}^5$  together with the carbon atoms to which each is attached and the fused ring N atom therebetween form a ring such that the compound of Formula I is a compound of Formula Ia1 or Ib1:



R<sup>6</sup> is H or C<sub>1-3</sub> alkyl;

R<sup>7</sup> is H, C<sub>1-3</sub> alkyl, or CH<sub>2</sub>-T, wherein T is phenyl which is optionally substituted with from 1 to 3 substituents each of which is independently halo, -C<sub>1-3</sub> alkyl, -O-C<sub>1-3</sub> alkyl, -C<sub>1-3</sub> fluoroalkyl, -SO<sub>2</sub>-C<sub>1-3</sub> alkyl, -C(=O)-NH(-C<sub>1-3</sub> alkyl), -C(=O)-N(-C<sub>1-3</sub> alkyl)<sub>2</sub>, or HetC;

R<sup>8</sup> is:

- (1) H,
- (2) C<sub>1-3</sub> alkyl,
- (3) N(R<sup>a</sup>)R<sup>b</sup>,
- (4) N(R<sup>a</sup>)-C(=O)-O-C<sub>1-4</sub> alkyl,
- (5) N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
- (6) HetF, or
- (7) N(R<sup>a</sup>)-C(=O)-C(=O)-HetF;

R<sup>9</sup> is H, C<sub>1-3</sub> alkyl, or CH<sub>2</sub>-U, wherein U is phenyl which is optionally substituted with from 1 to 3 substituents each of which is independently halo, -C<sub>1-3</sub> alkyl, -O-C<sub>1-3</sub> alkyl, -C<sub>1-3</sub> fluoroalkyl, -SO<sub>2</sub>-C<sub>1-3</sub> alkyl, -C(=O)-NH(-C<sub>1-3</sub> alkyl), -C(=O)-N(-C<sub>1-3</sub> alkyl)<sub>2</sub>, or HetC;

each R<sup>a</sup> is independently H or C<sub>1-3</sub> alkyl; and

R<sup>b</sup> is H or C<sub>1-3</sub> alkyl.

5. (original) The compound according to claim 4, or a pharmaceutically acceptable salt thereof, wherein

R<sup>1</sup> is:

- (1) H,

- (2) CH<sub>3</sub>,
- (3) chloro,
- (4) bromo,
- (5) CH<sub>2</sub>-NH(CH<sub>3</sub>),
- (6) CH<sub>2</sub>-N(CH<sub>3</sub>)<sub>2</sub>,
- (7) CH(CH<sub>3</sub>)-NH(CH<sub>3</sub>),
- (8) CH(CH<sub>3</sub>)-N(CH<sub>3</sub>)<sub>2</sub>,
- (9) CH(CH<sub>3</sub>)-NH(CH(CH<sub>3</sub>)<sub>2</sub>),
- (10) CH<sub>2</sub>-NH-C(=O)CH<sub>3</sub>,
- (11) CH<sub>2</sub>-N(CH<sub>3</sub>)-C(=O)CH<sub>3</sub>,
- (12) CH(CH<sub>3</sub>)-NH-C(=O)CH<sub>3</sub>,
- (13) CH(CH<sub>3</sub>)-N(CH<sub>3</sub>)-C(=O)CH<sub>3</sub>,
- (14) CH<sub>2</sub>-NH-SO<sub>2</sub>CH<sub>3</sub>,
- (15) CH<sub>2</sub>-N(CH<sub>3</sub>)-SO<sub>2</sub>CH<sub>3</sub>,
- (16) CH(CH<sub>3</sub>)-NH-SO<sub>2</sub>CH<sub>3</sub>,
- (17) CH(CH<sub>3</sub>)-N(CH<sub>3</sub>)-SO<sub>2</sub>CH<sub>3</sub>,
- (18) CH<sub>2</sub>-NH-(CH<sub>2</sub>)<sub>2</sub>-OCH<sub>3</sub>,
- (19) CH<sub>2</sub>-N(CH<sub>3</sub>)-(CH<sub>2</sub>)<sub>2</sub>-OCH<sub>3</sub>,
- (20) CH(CH<sub>3</sub>)-NH-(CH<sub>2</sub>)<sub>2</sub>-OCH<sub>3</sub>,
- (21) CH(CH<sub>3</sub>)-N(CH<sub>3</sub>)-(CH<sub>2</sub>)<sub>2</sub>-OCH<sub>3</sub>,
- (22) CH<sub>2</sub>-NH-C(=O)-C(=O)-N(CH<sub>3</sub>)<sub>2</sub>,
- (23) CH<sub>2</sub>-N(CH<sub>3</sub>)-C(=O)-C(=O)-N(CH<sub>3</sub>)<sub>2</sub>,
- (24) CH(CH<sub>3</sub>)-NH-C(=O)-C(=O)-N(CH<sub>3</sub>)<sub>2</sub>,
- (25) CH(CH<sub>3</sub>)-N(CH<sub>3</sub>)-C(=O)-C(=O)-N(CH<sub>3</sub>)<sub>2</sub>,
- (26) CH<sub>2</sub>OH,
- (27) CH(CH<sub>3</sub>)OH,
- (28) CH<sub>2</sub>-HetD,
- (29) CH(CH<sub>3</sub>)-HetD,
- (30) CH<sub>2</sub>-NH-CH<sub>2</sub>-HetA,
- (31) CH<sub>2</sub>-N(CH<sub>3</sub>)-CH<sub>2</sub>-HetA,
- (32) CH(CH<sub>3</sub>)-NH-CH<sub>2</sub>-HetA,
- (33) CH(CH<sub>3</sub>)-N(CH<sub>3</sub>)-CH<sub>2</sub>-HetA,
- (34) HetA, or
- (35) C(=O)-CH<sub>3</sub>;

R<sup>2</sup> is H or CH<sub>3</sub>;

R<sup>3</sup> is:

- (1) H,
- (2) CH<sub>3</sub>,
- (3) C(=O)-CH<sub>3</sub>,
- (4) CO<sub>2</sub>H,
- (5) C(=O)-O-CH<sub>3</sub>,
- (6) C(=O)N(H)CH<sub>3</sub>, or
- (7) C(=O)N(CH<sub>3</sub>)<sub>2</sub>;

R<sup>4</sup> is:

- (1) H,
- (2) CH<sub>3</sub>,
- (3) CH<sub>2</sub>-NH(CH<sub>3</sub>),
- (4) CH(CH<sub>3</sub>)-NH(CH<sub>3</sub>),
- (5) CH<sub>2</sub>-N(CH<sub>3</sub>)<sub>2</sub>,
- (6) CH(CH<sub>3</sub>)-N(CH<sub>3</sub>)<sub>2</sub>,
- (7) CH<sub>2</sub>-N(CH<sub>3</sub>)-C(=O)-CH<sub>3</sub>,
- (8) CH(CH<sub>3</sub>)-N(CH<sub>3</sub>)-C(=O)-CH<sub>3</sub>, or
- (9) CH<sub>2</sub>-HetD;

R<sup>5</sup> is:

- (1) H,
- (2) CH<sub>3</sub>,
- (3) CH<sub>2</sub>CO<sub>2</sub>H,
- (4) CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>,
- (5) CH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,
- (6) (CH<sub>2</sub>)<sub>1-2</sub>N(H)CH<sub>3</sub>,
- (7) (CH<sub>2</sub>)<sub>1-2</sub>N(CH<sub>3</sub>)<sub>2</sub>,
- (8) CH<sub>2</sub>C(=O)N(H)CH<sub>3</sub>,
- (9) CH<sub>2</sub>C(=O)N(CH<sub>3</sub>)<sub>2</sub>, or
- (10) (CH<sub>2</sub>)<sub>1-2</sub>-HetF;

or alternatively R<sup>4</sup> and R<sup>5</sup> together with the carbon atoms to which each is attached and the fused ring N atom therebetween form a ring such that the compound of Formula I is a compound of Formula Ia1 or Ib1



R<sup>6</sup> is H or CH<sub>3</sub>;

R<sup>7</sup> is CH<sub>2</sub>-T, wherein T is phenyl which is optionally substituted with from 1 to 3 substituents each of which is independently chloro, bromo, fluoro, CH<sub>3</sub>, OCH<sub>3</sub>, CF<sub>3</sub>, SO<sub>2</sub>CH<sub>3</sub>, C(=O)NH(CH<sub>3</sub>, C(=O)N(CH<sub>3</sub>)<sub>2</sub>, or oxadiazolyl;

R<sup>8</sup> is:

- (1) H,
- (2) CH<sub>3</sub>,
- (3) N(H)CH<sub>3</sub>,
- (4) N(CH<sub>3</sub>)<sub>2</sub>,
- (5) N(CH<sub>3</sub>)-C(=O)-O-C<sub>1-4</sub> alkyl,
- (6) N(CH<sub>3</sub>)-C(=O)-C(=O)-N(H)CH<sub>3</sub>,
- (7) N(CH<sub>3</sub>)-C(=O)-C(=O)-N(CH<sub>3</sub>)<sub>2</sub>,
- (8) HetF, or
- (9) N(CH<sub>3</sub>)-C(=O)-C(=O)-HetF;

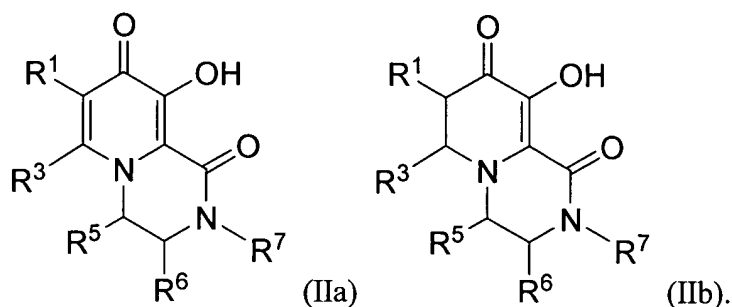
R<sup>9</sup> is H, CH<sub>3</sub>, or CH<sub>2</sub>-U, wherein U is phenyl which is optionally substituted with from 1 to 3 substituents each of which is independently chloro, bromo, fluoro, CH<sub>3</sub>, OCH<sub>3</sub>, CF<sub>3</sub>, SO<sub>2</sub>CH<sub>3</sub>, C(=O)NH(CH<sub>3</sub>, C(=O)N(CH<sub>3</sub>)<sub>2</sub>, or oxadiazolyl;

HetA is a heteroaromatic ring selected from the group consisting of oxadiazolyl, thiophenyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, imidazolyl, pyridinyl, pyrimidinyl, pyrazinyl, and pyridoimidazolyl; wherein the heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and wherein the heteroaromatic ring is optionally substituted with methyl or phenyl;

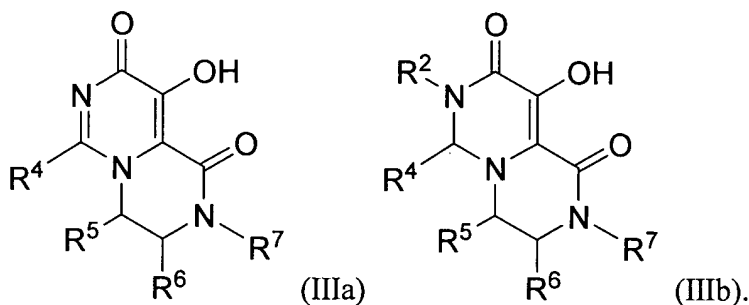
HetD is a heterocyclic ring selected from the group consisting of pyrrolidinyl, morpholinyl, piperidinyl, piperazinyl, 4-methylpiperazinyl, and piperidinyl fused with a benzene ring; wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring; and

HetF is a heterocyclic ring selected from the group consisting of pyrrolidinyl, morpholinyl, thiomorpholinyl, piperidinyl, piperazinyl, and 4-methylpiperazinyl, wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring.

6. (original) The compound according to claim 1, or a pharmaceutically acceptable salt thereof, which is a compound of Formula IIa or IIb:



7. (original) The compound according to claim 1, or a pharmaceutically acceptable salt thereof, which is a compound of Formula IIIa or IIIb:



8. (original) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, which is a compound selected from the group consisting of:

2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

6-acetyl-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-7-pyridin-3-yl-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

7-acetyl-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-7-(1-hydroxyethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-7-(1-morpholin-4-ylethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

N-{1-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2H-pyrido[1,2-a]pyrazin-7-yl]ethyl}-N-methylacetamide;

N-{1-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2H-pyrido[1,2-a]pyrazin-7-yl]ethyl}-N-methylmethanesulfonamide;

2-(4-fluorobenzyl)-9-hydroxy-7-(1-pyrrolidin-1-ylethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

N-{1-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2H-pyrido[1,2-a]pyrazin-7-yl]ethyl}-N,N',N'-trimethylethanediamide;

2-(4-fluorobenzyl)-9-hydroxy-7-[1-(methylamino)ethyl]-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

7-bromo-2-(4-fluorobenzyl)-9-hydroxy-6-methyl-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

7-[1-(dimethylamino)ethyl]-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-7-{1-[(pyridin-2-ylmethyl)amino]ethyl}-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-7-{1-[(2-methoxyethyl)amino]ethyl}-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-7-[1-(isopropylamino)ethyl]-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-7-{1-[(pyridin-3-ylmethyl)amino]ethyl}-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-methyl-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-(morpholin-4-ylmethyl)-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-[(methylamino)methyl]-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-(piperidin-1-ylmethyl)-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

6-[(dimethylamino)methyl]-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-methyl-3,4,6,7-tetrahydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-methyl-7-(1-morpholin-4-ylethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-methyl-7-(1-pyrrolidin-1-ylethyl)-3,4-dihydro-2H-pyrido[1,2-a]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-6-[1-(methylamino)ethyl]-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione;

6-[1-(dimethylamino)ethyl]-2-(4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2H-pyrazino[1,2-c]pyrimidine-1,8-dione; and

N-{[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2H-pyrazino[1,2-c]pyrimidin-6-yl]methyl}-N-methylacetamide.

9. (original) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, which is a compound selected from the group consisting of:

*cis tert*-butyl [7-(4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]methylcarbamate;

*trans tert*-butyl [7-(4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]methylcarbamate;

2,7-bis(4-fluorobenzyl)-5-hydroxy-2-(methylamino)-8,8a-dihydro-1*H*-3,7,8b-triazaacenaphthylene-4,6(2*H*,7*H*)-dione;

*cis* 2-(dimethylamino)-7-(4-fluorobenzyl)-5-hydroxy-8,8a-dihydro-1*H*-3,7,8b-triazaacenaphthylene-4,6(2*H*,7*H*)-dione;

*cis* N-[7-(4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]-*N,N',N'*-trimethylethanediamide;

*trans* N-[7-(4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]-*N,N',N'*-trimethylethanediamide;

N-[7-(3-chloro-4-fluorobenzyl)-5-hydroxy-4,6-dioxo-2,4,6,7,8,8a-hexahydro-1*H*-3,7,8b-triazaacenaphthylen-2-yl]-*N,N',N'*-trimethylethanediamide;

[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazin-4-yl]acetic acid;

ethyl [2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazin-4-yl]acetate;

2-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazin-4-yl]-*N*-methylacetamide;

2-[2-(4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazin-4-yl]-*N,N*-dimethylacetamide;

2-(4-fluorobenzyl)-9-hydroxy-4-(2-pyrrolidin-1-ylethyl)-3,4-dihydro-2*H*-pyrido[1,2-*a*]pyrazine-1,8-dione;

2-(4-fluorobenzyl)-9-hydroxy-4-(2-morpholin-4-ylethyl)-3,4-dihydro-2*H*-pyrido[1,2-*a*]pyrazine-1,8-dione;

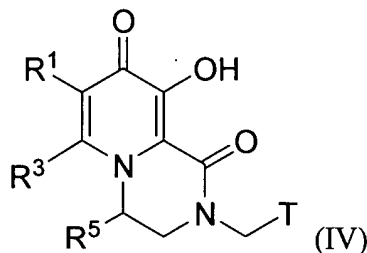
2-(3-chloro-4-fluorobenzyl)-9-hydroxy-3,4-dihydro-2*H*-pyrido[1,2-*a*]pyrazine-1,8-dione;

2-(4-fluoro-3-methylbenzyl)-9-hydroxy-3,4-dihydro-2*H*-pyrido[1,2-*a*]pyrazine-1,8-dione;

2-(3-chloro-4-fluorobenzyl)-9-hydroxy-*N,N*-dimethyl-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazine-6-carboxamide; and

2-(3-chloro-4-fluorobenzyl)-9-hydroxy-1,8-dioxo-1,3,4,8-tetrahydro-2*H*-pyrido[1,2-*a*]pyrazine-6-carboxylic acid.

10. (original) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is a compound of Formula IV:



wherein R<sup>1</sup> is:

- (1) H,
- (2) C<sub>1-3</sub> alkyl,
- (3) chloro,
- (4) bromo,
- (5) CH<sub>2</sub>-N(R<sup>a</sup>)R<sup>b</sup>,
- (6) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)R<sup>b</sup>,
- (7) CH<sub>2</sub>-N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (8) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (9) CH<sub>2</sub>-N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
- (10) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
- (11) CH<sub>2</sub>-N(R<sup>a</sup>)-C<sub>2-3</sub> alkylene-O-C<sub>1-3</sub> alkyl,
- (12) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C<sub>2-3</sub> alkylene-O-C<sub>1-3</sub> alkyl,
- (13) CH<sub>2</sub>-N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
- (14) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
- (15) CH<sub>2</sub>-OH,
- (16) CH(CH<sub>3</sub>)-OH,
- (17) CH<sub>2</sub>-HetD,
- (18) CH(CH<sub>3</sub>)-HetD,
- (19) CH<sub>2</sub>-N(R<sup>a</sup>)-CH<sub>2</sub>-HetA,
- (20) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-CH<sub>2</sub>-HetA,
- (21) HetA, or
- (22) C(=O)-R<sup>a</sup>; and

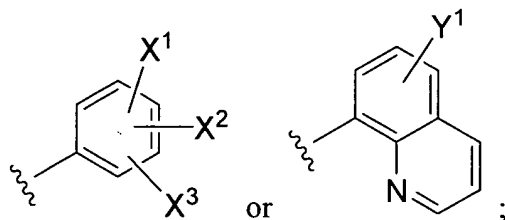
R<sup>3</sup> is:

- (1) H,
- (2) C<sub>1-3</sub> alkyl,
- (3) C(=O)-C<sub>1-3</sub> alkyl,
- (4) CO<sub>2</sub>H,
- (5) C(=O)-O-C<sub>1-3</sub> alkyl, or
- (6) C(=O)N(R<sup>a</sup>)R<sup>b</sup>;

R<sup>5</sup> is:

- (1) H,
- (2) C<sub>1-3</sub> alkyl,
- (3) CH<sub>2</sub>CO<sub>2</sub>H,
- (4) CH<sub>2</sub>C(=O)-O-C<sub>1-4</sub> alkyl,
- (5) (CH<sub>2</sub>)<sub>1-2</sub>N(R<sup>a</sup>)R<sup>b</sup>,
- (6) CH<sub>2</sub>C(=O)N(R<sup>a</sup>)R<sup>b</sup>,
- (7) (CH<sub>2</sub>)<sub>1-2</sub>N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
- (8) (CH<sub>2</sub>)<sub>1-2</sub>-HetF,
- (9) CH<sub>2</sub>C(=O)-HetF, or
- (10) (CH<sub>2</sub>)<sub>1-2</sub>N(R<sup>a</sup>)-C(=O)-C(=O)-HetF;

T is



wherein X<sup>1</sup>, X<sup>2</sup> and X<sup>3</sup> are each independently selected from the group consisting of -H, halo, -C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> fluoroalkyl, -SO<sub>2</sub>-C<sub>1-4</sub> alkyl, -C(=O)-NH(-C<sub>1-4</sub> alkyl), -C(=O)-N(-C<sub>1-4</sub> alkyl)<sub>2</sub>, and HetC;

Y<sup>1</sup> is -H, halo, -C<sub>1-4</sub> alkyl, or -C<sub>1-4</sub> fluoroalkyl;

HetA is a 5- or 6-membered heteroaromatic ring containing a total of from 1 to 3 heteroatoms independently selected from zero to 3 N atoms, zero or 1 O atom, and zero or 1 S atom; wherein the heteroaromatic ring is attached to the rest of the compound via a carbon atom in the ring, and

wherein the heteroaromatic ring is (i) optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-3</sub> alkyl and (ii) optionally substituted with phenyl or -CH<sub>2</sub>-phenyl;

each HetC is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-3</sub> alkyl;

HetD is a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 3 heteroatoms independently selected from 1 to 3 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO<sub>2</sub>, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with -C<sub>1-3</sub> alkyl;

HetF is a 5- or 6-membered saturated heterocyclic ring containing 1 or 2 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO<sub>2</sub>, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-4</sub> alkyl;

each R<sup>a</sup> is independently H or C<sub>1-3</sub> alkyl; and

each R<sup>b</sup> is independently H or C<sub>1-3</sub> alkyl.

11. (original) A compound according to claim 10, or a pharmaceutically acceptable salt thereof, wherein R<sup>1</sup> is:

- (1) H,
- (2) CH<sub>3</sub>,
- (3) bromo,
- (4) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)R<sup>b</sup>,
- (5) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (6) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-SO<sub>2</sub>R<sup>b</sup>,
- (7) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C<sub>1-3</sub> alkylene-O-C<sub>1-3</sub> alkyl,
- (8) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
- (9) CH(CH<sub>3</sub>)-OH,
- (10) CH(CH<sub>3</sub>)-HetD,
- (11) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-CH<sub>2</sub>-HetA,



- (12) HetA, or
- (13) C(=O)CH<sub>3</sub>; and

R<sup>3</sup> is:

- (1) H,
- (2) CH<sub>3</sub>,
- (3) C(=O)-CH<sub>3</sub>,
- (4) CO<sub>2</sub>H, or
- (5) C(=O)N(CH<sub>3</sub>)<sub>2</sub>;

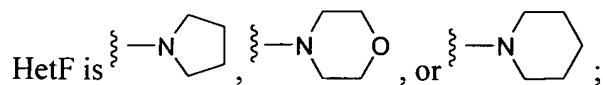
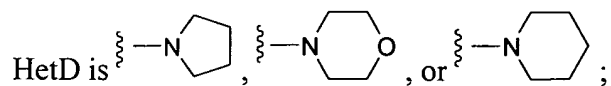
R<sup>5</sup> is:

- (1) H,
- (2) CH<sub>3</sub>,
- (3) CH<sub>2</sub>CO<sub>2</sub>H,
- (4) CH<sub>2</sub>CO<sub>2</sub>CH<sub>3</sub>,
- (5) CH<sub>2</sub>CO<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,
- (6) (CH<sub>2</sub>)<sub>1-2</sub>N(H)CH<sub>3</sub>,
- (7) (CH<sub>2</sub>)<sub>1-2</sub>N(CH<sub>3</sub>)<sub>2</sub>,
- (8) CH<sub>2</sub>C(=O)N(H)CH<sub>3</sub>,
- (9) CH<sub>2</sub>C(=O)N(CH<sub>3</sub>)<sub>2</sub>; or
- (10) (CH<sub>2</sub>)<sub>1-2</sub>-HetF;

with the proviso that at least one of R<sup>3</sup> and R<sup>5</sup> is H;

T is 4-fluorophenyl, 4-fluoro-3-methylphenyl, or 3-chloro-4-fluorophenyl;

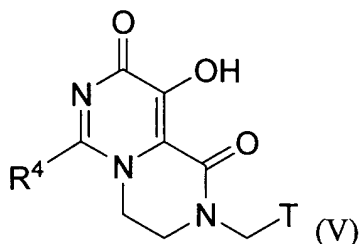
HetA is pyrrolyl, imidazolyl, pyridinyl, pyrimidinyl, or pyrazinyl;



R<sup>a</sup> is H or CH<sub>3</sub>; and

R<sup>b</sup> is CH<sub>3</sub> or CH(CH<sub>3</sub>)<sub>2</sub>.

12. (original) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is a compound of Formula V:

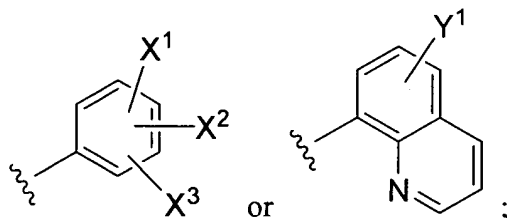


wherein:

R<sup>4</sup> is:

- (1) H,
- (2) C<sub>1-3</sub> alkyl,
- (3) CH<sub>2</sub>-N(R<sup>a</sup>)R<sup>b</sup>,
- (4) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)R<sup>b</sup>,
- (5) CH<sub>2</sub>-N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (6) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (7) CH<sub>2</sub>-HetD, or
- (8) CH(CH<sub>3</sub>)-HetD;

T is



wherein X<sup>1</sup>, X<sup>2</sup> and X<sup>3</sup> are each independently selected from the group consisting of -H, halo, -C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> fluoroalkyl, -SO<sub>2</sub>-C<sub>1-4</sub> alkyl, -C(=O)-NH(-C<sub>1-4</sub> alkyl), -C(=O)-N(-C<sub>1-4</sub> alkyl)<sub>2</sub>, and HetC;

Y<sup>1</sup> is -H, halo, -C<sub>1-4</sub> alkyl, or -C<sub>1-4</sub> fluoroalkyl;

each HetC is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-3</sub> alkyl;

HetD is a 5- or 6-membered saturated heterocyclic ring containing a total of from 1 to 3 heteroatoms independently selected from 1 to 3 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO<sub>2</sub>, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with -C<sub>1-3</sub> alkyl;

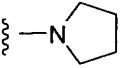
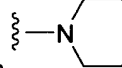
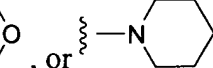
R<sup>a</sup> is H or C<sub>1-3</sub> alkyl; and

R<sup>b</sup> is H or C<sub>1-3</sub> alkyl.

13. (original) A compound according to claim 12, or a pharmaceutically acceptable salt thereof, wherein R<sup>4</sup> is:

- (1) H,
- (2) C<sub>1-3</sub> alkyl,
- (3) CH<sub>2</sub>-N(R<sup>a</sup>)R<sup>b</sup>,
- (4) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)R<sup>b</sup>,
- (5) CH<sub>2</sub>-N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (6) CH(CH<sub>3</sub>)-N(R<sup>a</sup>)-C(=O)-R<sup>b</sup>,
- (7) CH<sub>2</sub>-HetD, or
- (8) CH(CH<sub>3</sub>)-HetD;

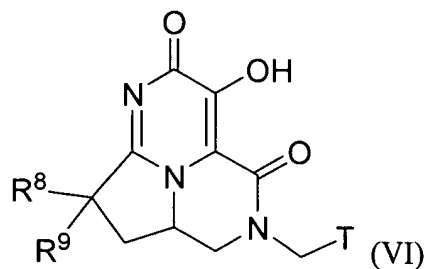
T is 4-fluorophenyl, 4-fluoro-3-methylphenyl, or 3-chloro-4-fluorophenyl;

HetD is , , or  ;

R<sup>a</sup> is H or CH<sub>3</sub>; and

R<sup>b</sup> is CH<sub>3</sub>.

14. (original) A compound according to claim 1, or a pharmaceutically acceptable salt thereof, wherein the compound is a compound of Formula VI:



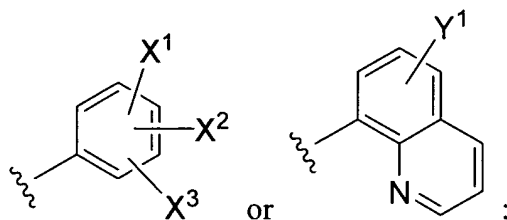
wherein

R<sup>8</sup> is:

- (1) H,
- (2) C<sub>1-3</sub> alkyl,
- (3) N(R<sup>a</sup>)R<sup>b</sup>,
- (4) N(R<sup>a</sup>)-C(=O)-O-C<sub>1-4</sub> alkyl,
- (5) N(R<sup>a</sup>)-C(=O)-C(=O)-N(R<sup>a</sup>)R<sup>b</sup>,
- (6) HetF, or
- (7) N(R<sup>a</sup>)-C(=O)-C(=O)-HetF;

R<sup>9</sup> is H or CH<sub>2</sub>-T;

T is



wherein X<sup>1</sup>, X<sup>2</sup> and X<sup>3</sup> are each independently selected from the group consisting of -H, halo, -C<sub>1-4</sub> alkyl, -O-C<sub>1-4</sub> alkyl, -C<sub>1-4</sub> fluoroalkyl, -SO<sub>2</sub>-C<sub>1-4</sub> alkyl, -C(=O)-NH(-C<sub>1-4</sub> alkyl), -C(=O)-N(-C<sub>1-4</sub> alkyl)<sub>2</sub>, and HetC;

Y<sup>1</sup> is -H, halo, -C<sub>1-4</sub> alkyl, or -C<sub>1-4</sub> fluoroalkyl;

each HetC is independently a 5- or 6-membered heteroaromatic ring containing from 1 to 4 heteroatoms independently selected from N, O and S, wherein the heteroaromatic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-3</sub> alkyl;

HetF is a 5- or 6-membered saturated heterocyclic ring containing 1 or 2 N atoms, zero or 1 O atom, and zero or 1 S atom, wherein any ring S atom is optionally oxidized to SO or SO<sub>2</sub>, and wherein the heterocyclic ring is attached to the rest of the compound via a N atom in the ring, and wherein the heterocyclic ring is optionally substituted with 1 or 2 substituents each of which is independently a -C<sub>1-4</sub> alkyl;

R<sup>a</sup> is H or C<sub>1-3</sub> alkyl; and

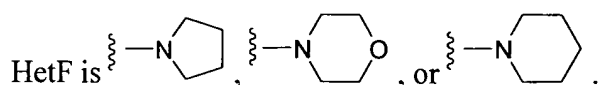
R<sup>b</sup> is H or C<sub>1-3</sub> alkyl.

15. (original) A compound according to claim 14, or a pharmaceutically acceptable salt thereof, wherein R<sup>8</sup> is:

- (1) N(H)CH<sub>3</sub>,
- (2) N(CH<sub>3</sub>)<sub>2</sub>,
- (3) N(CH<sub>3</sub>)-C(=O)-O-C<sub>1-4</sub> alkyl,
- (4) N(CH<sub>3</sub>)-C(=O)-C(=O)-N(H)CH<sub>3</sub>, or
- (5) N(CH<sub>3</sub>)-C(=O)-C(=O)-N(CH<sub>3</sub>)<sub>2</sub>,
- (6) HetF, or
- (7) N(CH<sub>3</sub>)-C(=O)-C(=O)-HetF;

R<sup>9</sup> is H or CH<sub>2</sub>-T;

T is 4-fluorophenyl, 4-fluoro-3-methylphenyl, or 3-chloro-4-fluorophenyl; and



16. (currently amended) A pharmaceutical composition comprising an effective amount of a compound according to claim 1, ~~any one of claims 1 to 15~~, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

17. (currently amended) A method of inhibiting HIV integrase in a subject in need thereof which comprises administering to the subject an effective amount of the compound according claim 1, ~~any one of claims 1 to 15~~, or a pharmaceutically acceptable salt thereof.

18. (currently amended) A method for preventing or treating infection by HIV or for preventing, treating or delaying the onset of AIDS in a subject in need thereof which

comprises administering to the subject an effective amount of the compound according to claim 1, ~~any one of claims 1 to 15~~, or a pharmaceutically acceptable salt thereof.

19. (canceled)

20. (canceled)

21. (canceled)

22. (canceled)

23. (currently amended) A pharmaceutical combination which is (i) a compound according to claim 1, ~~any one of claims 1 to 15~~, or a pharmaceutically acceptable salt thereof, and (ii) an HIV infection/AIDS antiviral agent selected from the group consisting of HIV protease inhibitors, non-nucleoside HIV reverse transcriptase inhibitors and nucleoside HIV reverse transcriptase inhibitors; wherein the compound of (i) or its pharmaceutically acceptable salt and the HIV infection/AIDS antiviral agent of (ii) are each employed in an amount that renders the combination effective for inhibiting HIV integrase, for treating or preventing infection by HIV, or for preventing, treating or delaying the onset of AIDS.